

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 1243WOORD01	FOR FURTHER ACTION <small>see Form PCT/ISA/220 as well as, where applicable, Item 5 below.</small>	
International application No. PCT/EP2005/051269	International filing date (day/month/year) 18/03/2005	(Earliest) Priority Date (day/month/year) 22/03/2004
Applicant ALTANA PHARMA AG		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the International search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ The International search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐ **Certain claims were found unsearchable** (See Box II).

3. ☐ **Unity of invention is lacking** (see Box III).

4. With regard to the **title**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

7, 8, 9, 10- TETRAHYDRO-IMIDAZO '2,1-A' ISOQUINOLINE DERIVATIVES AND THEIR USE AS GASTRIC ACID SECRETION INHIBITORS

5. With regard to the **abstract**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this International search report, submit comments to this Authority.

6. With regard to the **drawings**,

- a. the figure of the **drawings** to be published with the abstract is Figure No. _____

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

☐ as selected by this Authority, because this figure better characterizes the invention.

- b. ☐ none of the figures is to be published with the abstract.

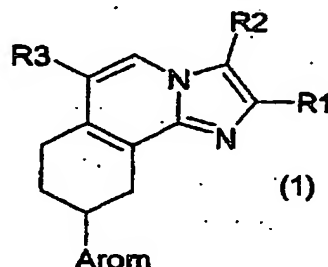
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Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

The invention provides compounds of the formula 1,



in which

- R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 1-4C-alkoxy-1-4C-alkyl or 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl or hydroxy-1-4C-alkyl
- R2 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, halogen, 2-4C-alkenyl, 2-4C-alkynyl, hydroxy-1-4C-alkyl, hydroxy-3-4C-alkenyl, hydroxy-3-4C-alkynyl, 1-4C-alkoxycarbonyl, fluoro-1-4C-alkyl, cyanomethyl, hydroxy, 1-4C-alkoxy, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, carboxyl, mono- or di-1-4C-alkylamino-1-4C-alkyl, 1-4C-alkylcarbonyl, 2-4C-alkenylcarbonyl, 2-4C-alkynylcarbonyl or the radical $-CO-NR_{21}R_{22}$,
- R3 is hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, carboxyl, 1-4C-alkoxycarbonyl or the radical $-CO-NR_{31}R_{32}$,
- Arom is a R4-, R5-, R6- and R7- substituted mono- or bicyclic aromatic radical selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furanyl (furyl), benzofuranyl (benzofuryl), thiophenyl (thienyl), benzothiophenyl (benzothieryl), thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, quinolinyl and isoquinolinyl.

secretion of gastric acid.

The compounds inhibit the

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/04 A61K31/4745

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 03/014123 A (BUHR WILM ; ALTANA PHARMA AG (DE); SENN-BILFINGER JOERG (DE)) 20 February 2003 (2003-02-20) cited in the application the whole document	1-13
Y	US 4 468 400 A (PUCHALSKI CHESTER ET AL) 28 August 1984 (1984-08-28) cited in the application the whole document; in particular, column 3, lines 14-25; column 3, line 39 - column 4, line 10; and column 6, line 52	1-13
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

G document member of the same patent family

Date of the actual completion of the international search

24 August 2005

Date of mailing of the international search report

06/09/2005

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Fink, D

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>KAMINSKI J J ET AL: "ANTIULCER AGENTS. 6. ANALYSIS OF THE IN VITRO BIOCHEMICAL AND IN VIVO GASTRIC ANTISECRETORY ACTIVITY OF SUBSTITUTE IMIDAZO1,2-A PYRIDINES AND RELATED ANALOGUES USING COMPARATIVE MOLECULAR FIELD ANALYSIS AND HYPOTHETICAL ACTIVE SITE LATTICE METHODOLOGIES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 40, 1997, pages 427-436, XP000941533 ISSN: 0022-2623</p> <p>cited in the application the whole document; in particular, page 428, Figure 1; and page 429, table 2: cf. the compounds 1 and 4, and 6 and 10</p> <p>-----</p>	1-13

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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claim 13 is directed to a method of treatment of the human/animal body (Article 52(4) EPC), the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

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Information on patent family members

International Application No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 03014123	A	20-02-2003	AT 297931 T	15-07-2005
			BR 0211826 A	28-06-2005
			CA 2452803 A1	20-02-2003
			CN 1541219 A	27-10-2004
			DE 60204701 D1	21-07-2005
			WO 03014123 A1	20-02-2003
			EP 1419163 A1	19-05-2004
			HU 0500330 A2	28-07-2005
			JP 2005504761 T	17-02-2005
			MX PA04001277 A	27-05-2004
			NO 20040604 A	10-02-2004
			US 2005049272 A1	03-03-2005
			ZA 200400918 A	20-04-2005
US 4468400	A	28-08-1984	NONE	